

Welcome to STN International! Enter x:x

LOGINID:sssptaul2lbd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

09/891026

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Jan 25 BLAST(R) searching in REGISTRY available in STN on the Web  
NEWS 3 Jan 25 Searching with the P indicator for Preparations  
NEWS 4 Jan 29 FSTA has been reloaded and moves to weekly updates  
NEWS 5 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update frequency  
NEWS 6 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02  
NEWS 7 Mar 08 Gene Names now available in BIOSIS  
NEWS 8 Mar 22 TOXLIT no longer available  
NEWS 9 Mar 22 TRCTHERMO no longer available  
NEWS 10 Mar 28 US Provisional Priorities searched with P in CA/CAplus and USPATFULL

NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:41:24 ON 28 MAR 2002

=> fil regh

\*\*\* YOU HAVE NEW MAIL \*\*\*

'REGH' IS NOT A VALID FILE NAME

SESSION CONTINUES IN FILE 'HOME'

Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

=> fil reg

FILE 'REGISTRY' ENTERED AT 13:42:07 ON 28 MAR 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 26 MAR 2002 HIGHEST RN 402912-59-8  
DICTIONARY FILE UPDATES: 26 MAR 2002 HIGHEST RN 402912-59-8

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

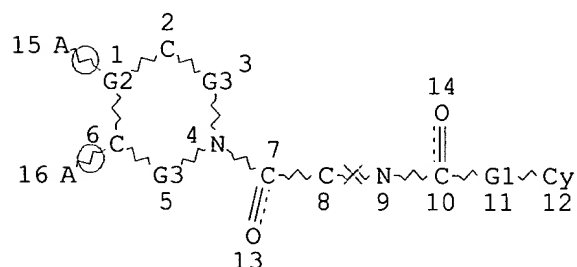
The P indicator for Preparations was not generated for all of the  
CAS Registry Numbers that were added to the H/Z/CA/CAplus files between  
12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches  
during this period, either directly appended to a CAS Registry Number  
or by qualifying an L-number with /P, may have yielded incomplete results.  
As of 1/23/02, the situation has been resolved. Also, note that searches  
conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files  
incorporating CAS Registry Numbers with the P indicator between 12/27/01  
and 1/23/02, are encouraged to re-run these strategies. Contact the  
CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698,  
worldwide, or send an e-mail to [help@cas.org](mailto:help@cas.org) for further assistance or to  
receive a credit for any duplicate searches.

\*\*\* YOU HAVE NEW MAIL \*\*\*

'REGISTRY' IS DEFAULT FORMAT FOR 'REGISTRY' FILE

=> d sia  
L1 HAS NO ANSWERS  
L1 STR



REP G1=(0-2) C  
VAR G2=N/C  
REP G3=(0-2) CH  
NODE ATTRIBUTES:  
NSPEC IS RC AT 8  
NSPEC IS RC AT 9  
NSPEC IS R AT 15  
NSPEC IS R AT 16  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

=> s l1

SAMPLE SEARCH INITIATED 13:58:09 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 14801 TO ITERATE

6.8% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

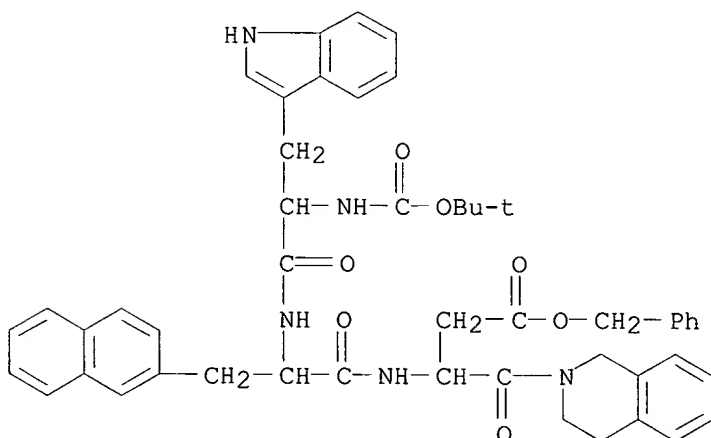
10 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 288749 TO 303291  
PROJECTED ANSWERS: 2231 TO 3689

L2 10 SEA SSS SAM L1

=> d scan

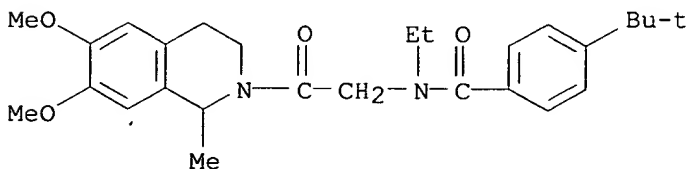
L2 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-N-[1-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-3-oxo-3-(phenylmethoxy)propyl]-3-(2-naphthalenyl)-, (S)- (9CI)  
MF C49 H51 N5 O7



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

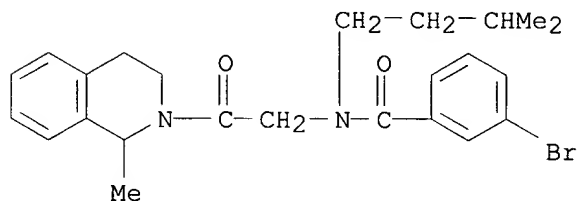
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L2 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN Benzamide, N-[2-(3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl)-2-oxoethyl]-4-(1,1-dimethylethyl)-N-ethyl- (9CI)  
MF C27 H36 N2 O4



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS  
IN Benzamide, 3-bromo-N-[2-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-2-  
oxoethyl]-N-(3-methylbutyl)- (9CI)  
MF C24 H29 Br N2 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

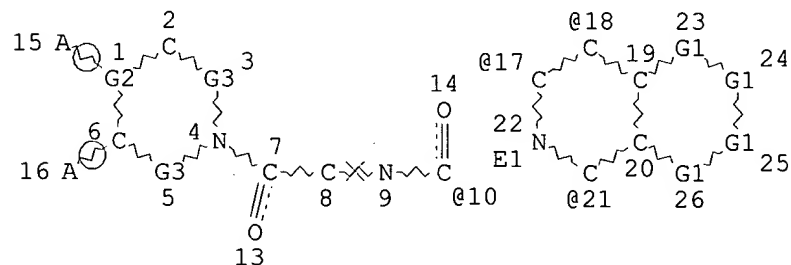
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> str l1

=> d sia

L3 HAS NO ANSWERS

L3 STR



VAR G1=C/O/S/N

VAR G2=N/C

REP G3=(0-2) CH

VPA 10-18/17/21 U

NODE ATTRIBUTES:

HCOUNT IS E1 AT 22

NSPEC IS RC AT 8

NSPEC IS RC AT 9

NSPEC IS R AT 15

NSPEC IS R AT 16

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

=> s 13  
SAMPLE SEARCH INITIATED 14:09:43 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 3655 TO ITERATE

27.4% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.02

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 69477 TO 76723  
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s 13 ful  
FULL SEARCH INITIATED 14:10:28 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 72169 TO ITERATE

100.0% PROCESSED 72169 ITERATIONS  
SEARCH TIME: 00.00.05

25 ANSWERS

L5 25 SEA SSS FUL L3

=> d tot reg can  
1 RN 384345-35-1 REGISTRY

REFERENCE 1: 136:69824  
2 RN 384345-34-0 REGISTRY

REFERENCE 1: 136:69824  
3 RN 384345-33-9 REGISTRY

REFERENCE 1: 136:69824  
4 RN 384345-32-8 REGISTRY

REFERENCE 1: 136:69824  
5 RN 384345-31-7 REGISTRY

REFERENCE 1: 136:69824  
6 RN 384345-30-6 REGISTRY

REFERENCE 1: 136:69824  
7 RN 384345-29-3 REGISTRY

REFERENCE 1: 136:69824  
8 RN 384345-28-2 REGISTRY

REFERENCE 1: 136:69824  
9 RN 384345-27-1 REGISTRY

REFERENCE 1: 136:69824  
10 RN 384345-26-0 REGISTRY

REFERENCE 1: 136:69824  
11 RN 384345-25-9 REGISTRY

REFERENCE 1: 136:69824  
12 RN 384345-24-8 REGISTRY

REFERENCE 1: 136:69824  
13 RN 384345-23-7 REGISTRY

REFERENCE 1: 136:69824

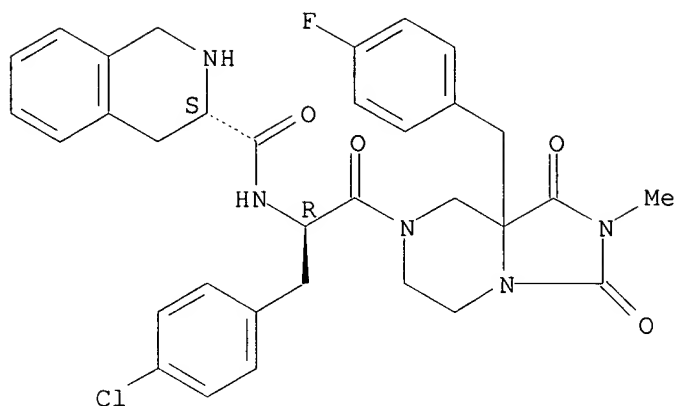
14 RN 384345-22-6 REGISTRY  
 REFERENCE 1: 136:69824  
 15 RN 384345-21-5 REGISTRY  
 REFERENCE 1: 136:69824  
 16 RN 384345-17-9 REGISTRY  
 REFERENCE 1: 136:69824  
 17 RN 384345-16-8 REGISTRY  
 REFERENCE 1: 136:69824  
 18 RN 384345-14-6 REGISTRY  
 REFERENCE 1: 136:69824  
 19 RN 384345-11-3 REGISTRY  
 REFERENCE 1: 136:69824  
 20 RN 384345-08-8 REGISTRY  
 REFERENCE 1: 136:69824  
 21 RN 378741-82-3 REGISTRY  
 136:15253  
 REFERENCE 1: 136:15253  
 22 RN 378741-76-5 REGISTRY  
 REFERENCE 1: 136:15253  
 23 RN 176714-38-8 REGISTRY  
 REFERENCE 1: 124:333325  
 24 RN 176714-37-7 REGISTRY  
 REFERENCE 1: 124:333325  
 25 RN 176177-87-0 REGISTRY  
 REFERENCE 1: 124:306933

=> d 1 21 24 26 ide

25 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE  
 The answer numbers requested are not in the answer set.  
 ENTER ANSWER NUMBER OR RANGE (1):1 21 24 25

L5 ANSWER 1 OF 25 REGISTRY COPYRIGHT 2002 ACS  
 RN 384345-35-1 REGISTRY  
 CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[8a-[(4-fluorophenyl)methyl]hexahydro-2-methyl-1,3-dioxoimidazo[1,5-a]pyrazin-7(1H)-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C33 H33 Cl F N5 O4  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

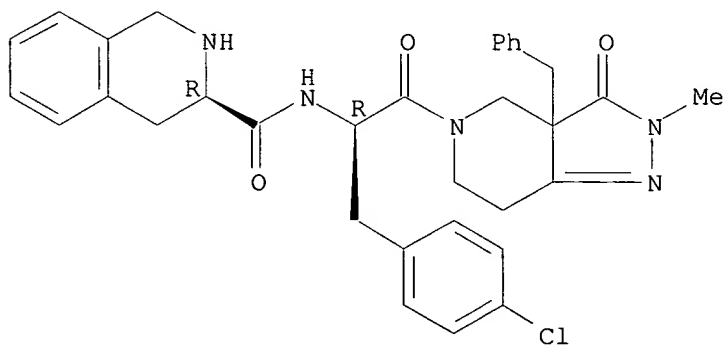
1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L5 ANSWER 21 OF 25 REGISTRY COPYRIGHT 2002 ACS  
RN 378741-82-3 REGISTRY  
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C33 H34 Cl N5 O3 . C2 H F3 O2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

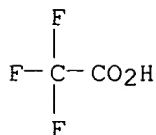
CRN 378741-76-5  
CMF C33 H34 Cl N5 O3

Absolute stereochemistry.



CM 2

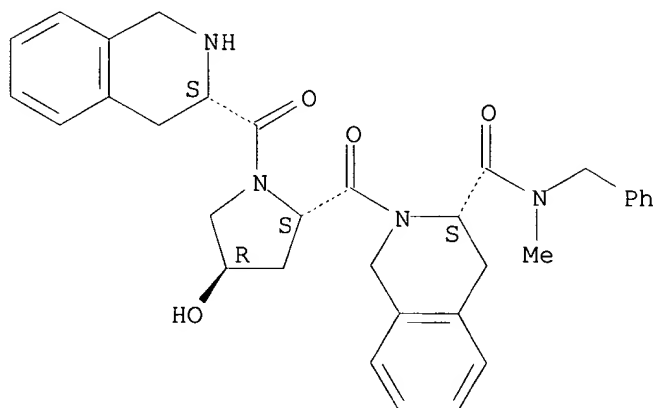
CRN 76-05-1  
CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L5 ANSWER 24 OF 25 REGISTRY COPYRIGHT 2002 ACS  
RN 176714-37-7 REGISTRY  
CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[[4-hydroxy-1-[(1,2,3,4-tetrahydro-3-isoquinolinyl)carbonyl]-2-pyrrolidinyl]carbonyl]-N-methyl-N-(phenylmethyl)-, [2S-[1(R\*),2.alpha.(R\*),4.beta.]]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C33 H36 N4 O4  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



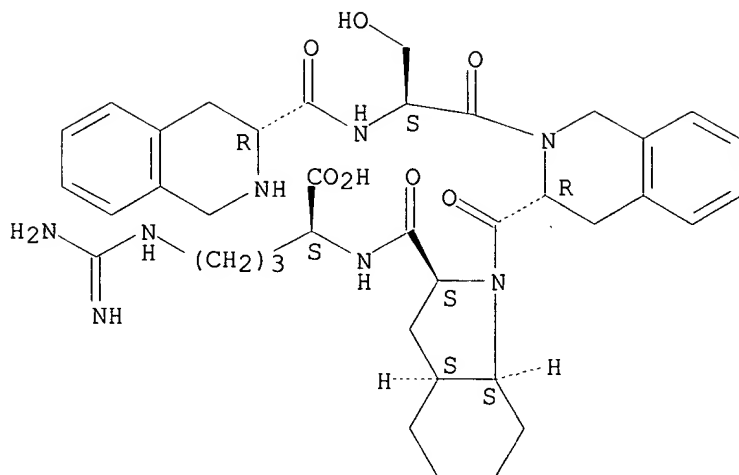
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L5 ANSWER 25 OF 25 REGISTRY COPYRIGHT 2002 ACS  
RN 176177-87-0 REGISTRY  
CN L-Arginine, N-[(1,2,3,4-tetrahydro-3-isoquinolinyl)carbonyl]-L-seryl-D-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-L-(2.alpha.,3a.beta.,7a.beta.)-octahydro-1H-indole-2-carbonyl-, (R)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C38 H50 N8 O7  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.





1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> fil stnguide

FILE 'STNGUIDE' ENTERED AT 14:12:08 ON 28 MAR 2002

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE

AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 22, 2002 (20020322/UP).

=> fi reg

FI IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:15:41 ON 28 MAR 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 26 MAR 2002 HIGHEST RN 402912-59-8

DICTIONARY FILE UPDATES: 26 MAR 2002 HIGHEST RN 402912-59-8

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

The P indicator for Preparations was not generated for all of the  
CAS Registry Numbers that were added to the H/Z/CA/CAPLUS files between  
12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches  
during this period, either directly appended to a CAS Registry Number

or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAPLUS files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

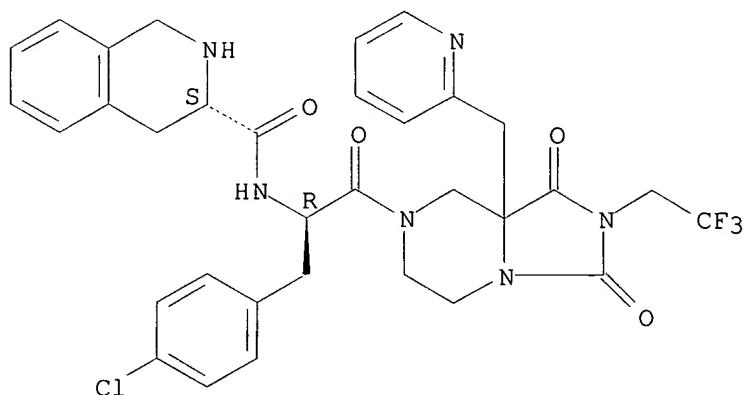
\*\*\* YOU HAVE NEW MAIL \*\*\*

'REGISTRY' IS DEFAULT FORMAT FOR 'REGISTRY' FILE

=> d 20 22 sub bib abs

L5 ANSWER 20 OF 25 REGISTRY COPYRIGHT 2002 ACS  
RN 384345-08-8 REGISTRY  
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[hexahydro-1,3-dioxo-8a-(2-pyridinylmethyl)-2-(2,2,2-trifluoroethyl)imidazo[1,5-a]pyrazin-7(1H)-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, hydrochloride, (3S)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C33 H32 Cl F3 N6 O4 . x Cl H  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



● x HCl

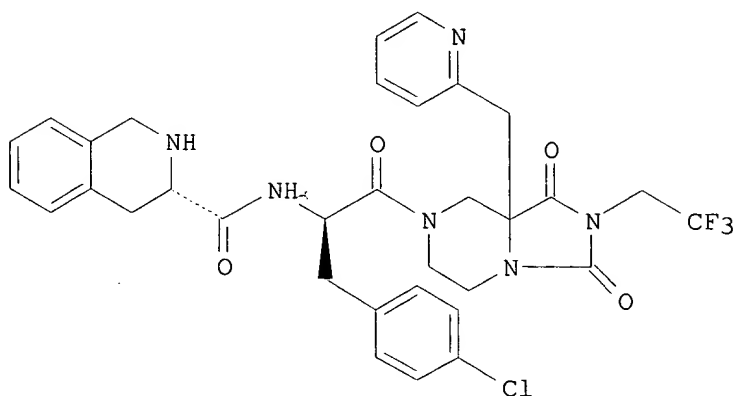
1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

#### REFERENCE 1

AN 136:69824 CA  
TI Preparation of heterocycle compounds as melanocortin receptor ligands  
IN Carpino, Philip Albert; Cole, Bridget McCarthy; Morgan, Bradley Paul  
PA Pfizer Products Inc., USA  
SO PCT Int. Appl., 108 pp.  
CODEN: PIXXD2  
DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000654	A1	20020103	WO 2001-IB995	20010531
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-214616P		20000628		
GI					



II

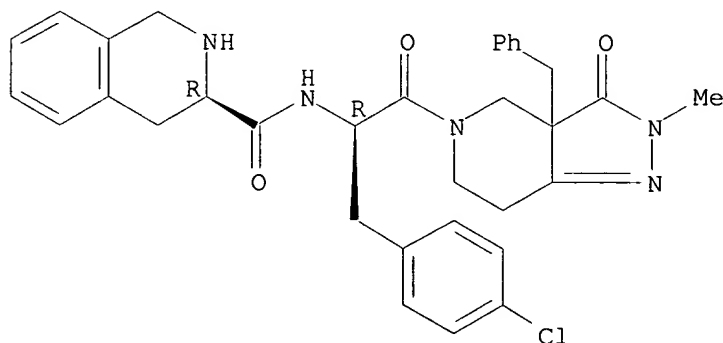
AB Compds. represented by formula HET-COCR<sub>3</sub>R<sub>4</sub>-NX<sub>4</sub>-CO(CR<sub>6</sub>R<sub>7</sub>)<sub>m</sub>-D [I; wherein m = 0, 1 or 2; HET = heterocyclyl; R<sub>3</sub>, R<sub>4</sub> = H, Cl-8 alkyl, CH(R<sub>8</sub>)-aryl, -CH(R<sub>8</sub>)-heteroaryl, -C0-3 alkyl-C3-8 cycloalkyl (wherein the aryl or heteroaryl groups are optionally substituted by one or two groups; R<sub>8</sub> = H, Cl-8 alkyl, -C0-3 alkylaryl, -C0-3 alkylheteroaryl, -C3-6 cycloalkyl); R<sub>6</sub>, R<sub>7</sub> = H, Cl-6 alkyl, -C0-3 alkyl-aryl, -C0-3 alkyl-heteroaryl, -C0-3 alkyl-C3-8 cycloalkyl; or R<sub>6</sub> and R<sub>7</sub> together with the nitrogen atom to which they are attached form a 5- or 6-membered ring optionally contg. an addnl. heteroatom selected from O, S, NR<sub>3</sub>; D = -C0-6 alkylamino-C(:NR<sub>7</sub>)-NR<sub>15</sub>R<sub>16</sub>, -C0-6 alkylaminopyridyl, -C0-6 alkylaminoimidazolyl, -C0-6 alkylaminothiazolyl, -C0-6 alkylaminopyrimidinyl, -C0-6 alkylaminopiperazinyl-R<sub>15</sub>, -C0-6 alkylmorpholinyl, etc. (wherein R<sub>15</sub>, R<sub>16</sub> = H, -Cl-6 alkyl, -C0-3 alkylaryl, -C0-3 alkylheteroaryl, or -C0-3 alkyl-C3-8 cycloalkyl, wherein the alkyl and aryl groups are optionally substituted with one or two groups); X<sub>4</sub> = H or Cl-6 alkyl or X<sub>4</sub> is taken together with R<sub>4</sub> and the nitrogen atom to which X<sub>4</sub> is attached and the carbon atom to which R<sub>4</sub> is attached and form a five to seven membered ring] are prepd. Melanocortins are peptides derived from pro-opiomelanocortins (POMC) that bind to and activate G-protein coupled receptors (GPCR's) of the melanocortin receptor family and regulate a diverse no. of physiol. processes including food intake., metab., and thermogenesis as well as sexual dysfunction. These compds. I are useful for the treatment or prevention of disorders, diseases, or conditions responsive to the activation of melanocortin receptor including obesity, diabetes mellitus, male or female sexual dysfunction, erectile dysfunction, or disorders that cause redn. in appetite, or feeding behavior and/or body wt.; for modulating appetite and metabolic rates; for acutely stimulating the appetite for the treatment of hepatic lipidosis, cachexia, and other pathologies resulting in/from inappropriate food intake and wt. loss; for acutely stimulating the appetite of livestock for

the treatment of ketosis, postpartum anestrus, and other metabolic and reproductive pathologies resulting in/from inappropriate food intake and wt. loss; and for enhancing growth and survivability of neonates in livestock. Thus, esterification of N-Boc-L-Tic-OH with N-hydroxysuccinimide using Et3N and EDC in CH2Cl2 at room temp. for 4 h gave 3,4-Dihydro-1H-isoquinoline-2,3-(S)-dicarboxylic acid 2-tert-Bu ester 3-(2,5-dioxopyrrolidin-1-yl) ester which was condensed with D-p-chlorophenylalanine in the presence of Et3N in CH2Cl2 at room temp. overnight to give 3-(S)-[(R)-1-Carboxy-2-(4-chlorophenyl)ethylcarbamoyl]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester. The latter compd. was further condensed with 8a-(Pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)tetrahydroimidazo[1,5-a]pyrazine-1,3-dione using Et3N and EDC in CH2Cl2 at 0.degree. for 5 h to give (S)-3-[(R)-1-(4-Chlorobenzyl)-2-[1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5-a]pyrazin-7-yl]-2-oxoethylcarbamoyl]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester which was treated with a mixt. of EtOH and concd. HCl at 0.degree. for 0.5 h to give (S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic acid N-[(R)-1-(4-chlorobenzyl)-2-[1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5-a]pyrazin-7-yl]-2-oxoethyl]amide (II) hydrochloride which may be considered as a dipeptide analog heptercycle amide, N-[N-(L-1,2,3,4-Tetrahydroisoquinoline-3-carbonyl)-D-p-chlorophenylalanyl]-1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5-a]pyrazine.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 25 REGISTRY COPYRIGHT 2002 ACS  
RN 378741-76-5 REGISTRY  
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C33 H34 Cl N5 O3  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

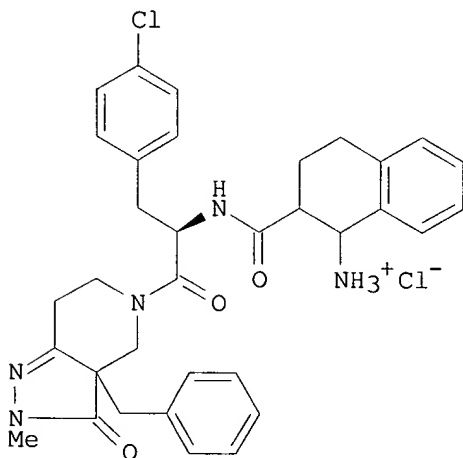
REFERENCE 1

AN 136:15253 CA

TI Melanocortin receptor agonists, and preparation thereof, for therapeutic use  
 IN Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001091752	A1	20011206	WO 2001-US17014	20010525
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002004512	A1	20020110	US 2001-867309	20010529
PRAI	US 2000-207918P	20000530			

GI



I

AB The invention discloses compds. and derivs. thereof which are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, e.g. obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Prepn. of e.g. I is described.

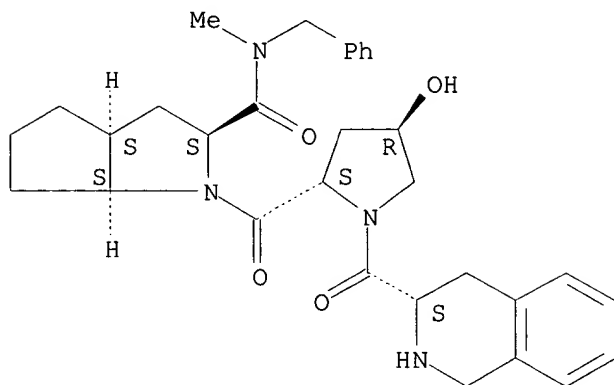
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 23 25 sub bib abs

L5 ANSWER 23 OF 25 REGISTRY COPYRIGHT 2002 ACS  
 RN 176714-38-8 REGISTRY  
 CN Cyclopenta[b]pyrrole-2-carboxamide, octahydro-1-[[4-hydroxy-1-[(1,2,3,4-tetrahydro-3-isoquinolinyl)carbonyl]-2-pyrrolidinyl]carbonyl]-N-methyl-N-(phenylmethyl)-, [2S-[1[1(R\*),2R\*,4S\*],2.alpha.,3a.beta.,6a.beta.]]- (9CI)  
 (CA INDEX NAME)

FS STEREOSEARCH  
MF C31 H38 N4 O4  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

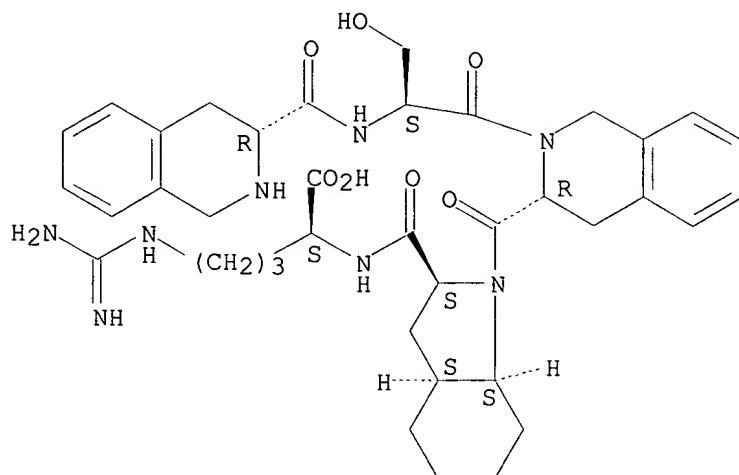
1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1

AN 124:333325 CA  
TI Modification of the potent peptide FK888 with unusual amino acids: effects on activity on neurokinin receptors  
AU Caliendo, Giuseppe; Greco, Giovanni; Grieco, Paolo; Perissutti, Elisa; Santagada, Vincenzo; Calignano, Antonio; Mancuso, Franca; Novellino, Ettore  
CS Dip. Chim. Farmaceutica Tossicologica, Univ. "Federico II", Naples, 80131, Italy  
SO Farmaco (1996), 51(3), 197-201  
CODEN: FRMCE8  
DT Journal  
LA English  
AB We report on the synthesis and the pharmacol. properties of a new series of tachykinin antagonists based on the peptide N2-[(4R)-4-hydroxy-1-[(1-methyl-1H-indol-3-yl)carbonyl]-L-prolyl]-N-methyl-N-(phenylmethyl)-3-(2-naphthyl)-L-alaninamide (FK 888) modified on the (2-naphthyl)-L-alanine and the [(1-methyl-1H-indol-3-yl)carbonyl] moieties. The compds. were tested on guinea pig ileum for NK-1, rat colon for NK-2 and rat portal vein for NK-3 receptors. The two most potent peptides of this series, Boc-Ioc-Hyp-Phg-NMeBzl (Ioc = (S)-indoline-2-carboxylic acid; Phg = phenylglycine) and Boc-Tic-Hyp-Aoc-NMeBzl (Tic = 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid; Aoc = (S,S,S)-2-azabicyclo[3.3.0]octane-3-carboxylic acid), were selective for the NK-2 receptor (pA2 = 7.5 and 7.3, resp.).  
L5 ANSWER 25 OF 25 REGISTRY COPYRIGHT 2002 ACS  
RN 176177-87-0 REGISTRY  
CN L-Arginine, N-[(1,2,3,4-tetrahydro-3-isoquinolinyl)carbonyl]-L-seryl-D-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-L-(2.alpha.,3a.beta.,7a.beta.)-octahydro-1H-indole-2-carbonyl-, (R)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C38 H50 N8 O7  
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1

AN 124:306933 CA  
TI Design and Synthesis of New Linear and Cyclic Bradykinin Antagonists  
AU Thurieau, Christophe; Feletou, Michel; Hennig, Philippe; Raimbaud, Eric;  
Canet, Emmanuel; Fauchere, Jean-Luc  
CS Institut de Recherches Servier, Suresnes, 92150, Fr.  
SO J. Med. Chem. (1996), 39(10), 2095-101  
CODEN: JMCMAR; ISSN: 0022-2623  
DT Journal  
LA English  
AB The synthesis and pharmacol. properties of a new series of small linear and cyclic peptides derived from the 5 C-terminal amino acid residues of second-generation bradykinin receptor antagonists. Variations of the 2 first residues of the pentapeptide (Thi-Ser-D-Tic-Oic-Arg) modulated the biol. activities of the analogs on bradykinin-induced smooth muscle contractions in rabbit jugular vein (RJV), a tissue prepn. specific of the B2 bradykinin receptor. Several analogs showed pA2 values around 7 on this tissue prepn., and 1 cyclic compd., c[-Gly-Thi-D-Tic-Oic-Arg-], in which Thi-Ser was replaced by Gly-Thi, displayed a pA2 of 7.4 on RJV. On the basis of these results, 3 cyclic mols. and their linear counterparts were tested on human umbilical vein, a tissue specific of the human B2 receptor. The pKB values obtained for these compds. on these tissue prepn. were equiv. to those obtained for the decapeptide NPC 567 (4.8 < pA2 < 5.1). NMR and mol. modeling studies performed on 1 of the compds. clearly demonstrated a type II' .beta.-turn structure. This analog may serve as a new lead for the design of nonpeptide ligands of the bradykinin B2 receptor subtype.

=> log h

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
23.40	198.44

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-2.36	-2.36

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES  
STN INTERNATIONAL SESSION SUSPENDED AT 14:28:53 ON 28 MAR 2002